

10/599,002

## Connecting via Winsock to STN

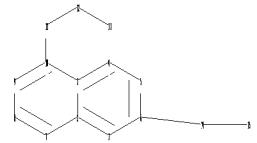
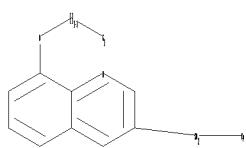
Welcome to STM International! Enter x:x

FILE 'HOME' ENTERED AT 11:21:18 ON 30 JUL 2009

=> file reg

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Uploading C:\Program Files\Stnexp\Queries\11599002.str



```
chain nodes :  
11 12 13 14 15  
ring nodes :
```

10/599,002

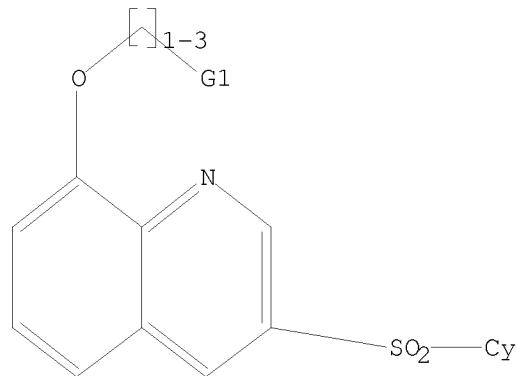
1 2 3 4 5 6 7 8 9 10  
chain bonds :  
6-14 10-11 11-12 12-13 14-15  
ring bonds :  
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10  
exact/norm bonds :  
10-11 11-12 12-13 14-15  
exact bonds :  
6-14  
normalized bonds :  
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10  
isolated ring systems :  
containing 1 :

G1:N,Hy

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom

L1 STRUCTURE UPLOADED

=> d 11  
L1 HAS NO ANSWERS  
L1 STR



G1 N,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam  
SAMPLE SEARCH INITIATED 11:22:19 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 68 TO ITERATE

100.0% PROCESSED 68 ITERATIONS 2 ANSWERS  
SEARCH TIME: 00.00.01

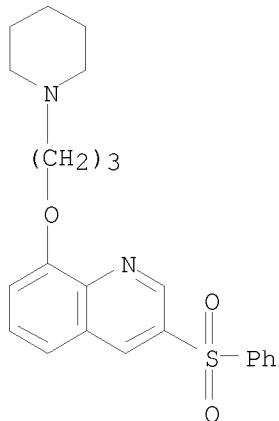
10/599,002

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 866 TO 1854  
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Quinoline, 3-(phenylsulfonyl)-8-[3-(1-piperidinyl)propoxy]-, hydrochloride  
(1:1)  
MF C23 H26 N2 O3 S . Cl H



● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s 11 full  
FULL SEARCH INITIATED 11:22:27 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1471 TO ITERATE

100.0% PROCESSED 1471 ITERATIONS 30 ANSWERS  
SEARCH TIME: 00.00.01

L3 30 SEA SSS FUL L1

=> file ca

=> s 13  
L4 1 L3

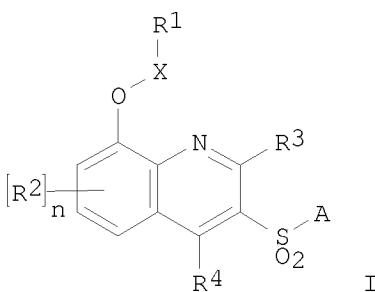
=> d ibib abs fhitstr

L4 ANSWER 1 OF 1 CA COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 143:386931 CA  
 TITLE: Preparation of 3-[(hetero)arylsulfonyl]-8-[(aminoalkyl)oxy]quinolines as 5-HT6 receptor antagonists for the treatment of CNS disorders  
 INVENTOR(S): Ahmed, Mahmood; Johnson, Christopher Norbert; Miller, Neil Derek; Trani, Giancarlo; Witty, David R.  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Witty, David R  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2005095346   | A1   | 20051013 | WO 2005-GB1106  | 20050324   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| EP 1730112  | A1   | 20061213 | EP 2005-729157  | 20050324   |
| EP 1730112  | B1   | 20080903 |                 |            |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV   |      |          |                 |            |
| JP 2007530648   | T    | 20071101 | JP 2007-505618  | 20050324   |
| AT 407120   | T    | 20080915 | AT 2005-729157  | 20050324   |
| ES 2313319  | T3   | 20090301 | ES 2005-729157  | 20050324   |
| US 20070191345  | A1   | 20070816 | US 2006-599002  | 20060918   |
| PRIORITY APPLN. INFO.:  |      |          | GB 2004-7025    | A 20040329 |
|   |      |          | WO 2005-GB1106  | W 20050324 |

OTHER SOURCE(S): CASREACT 143:386931; MARPAT 143:386931

GI



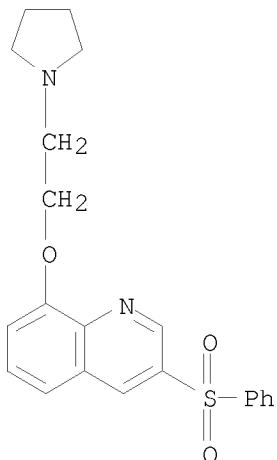
AB The title compds. I [R1 = (un)substituted NH<sub>2</sub> or a N containing heterocyclyl; X = a bond, (un)substituted CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, etc.; R<sub>2</sub> = halo, CN, CF<sub>3</sub>, etc.; n = 0-3; R<sub>3</sub>, R<sub>4</sub> = H, halo, CN, etc.; A = (un)substituted (hetero)aryl, arylaryl, etc.], useful in the treatment of CNS and other disorders, were prepared. Thus, reacting 2-dimethylaminoethanol with 3-phenylsulfonyl-8-iodoquinoline (preparation given) afforded 48% I [R1 = NMe<sub>2</sub>; X = (CH<sub>2</sub>)<sub>2</sub>; R<sub>2</sub>-R<sub>4</sub> = H; A = Ph] which was converted to its HCl salt which showed antagonist potency for the 5-HT<sub>6</sub> receptor, having fpKi > 8.0 at human cloned 5-HT<sub>6</sub> receptors. The pharmaceutical composition comprising the compound I is disclosed.

IT 866782-65-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 3-[(hetero)arylsulfonyl]-8-[(aminoalkyl)oxy]quinolines as 5-HT<sub>6</sub> receptor antagonists for the treatment of CNS disorders)

RN 866782-65-2 CA

CN Quinoline, 3-(phenylsulfonyl)-8-[2-(1-pyrrolidinyl)ethoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file marpat

COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |

FULL ESTIMATED COST

5.85 191.95

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |

CA SUBSCRIBER PRICE

-0.78 -0.78

FILE 'MARPAT' ENTERED AT 11:22:40 ON 30 JUL 2009

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

10/599,002

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FILE CONTENT: 1961-PRESENT VOL 151 ISS 4 (20090724/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090149676 11 JUN 2009  
DE 102007059214 10 JUN 2009  
EP 2065708 03 JUN 2009  
JP 2009137851 25 JUN 2009  
WO 2009074534 18 JUN 2009  
GB 2453808 22 APR 2009  
FR 2924713 12 JUN 2009  
RU 2357978 10 JUN 2009  
CA 2643394 07 MAY 2009

The new MARPAT User Guide is now available at:

<http://www.cas.org/support/stngen/stndoc/marpat.html>.

=> s 13 full  
FULL SEARCH INITIATED 11:22:45 FILE 'MARPAT'  
FULL SCREEN SEARCH COMPLETED - 8854 TO ITERATE

100.0% PROCESSED 8854 ITERATIONS 14 ANSWERS  
SEARCH TIME: 00.00.04

L5 14 SEA SSS FUL L1

=> d ibib abs fqhit 1-14

L5 ANSWER 1 OF 14 MARPAT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 149:425659 MARPAT  
TITLE: Preparation of phenylnaphthalenols and related  
compounds as (17) $\beta$ -hydroxy steroid dehydrogenase  
inhibitors  
INVENTOR(S): Hartmann, Rolf; Frotscher, Martin; Oberwinkler,  
Sandrine; Ziegler, Erika; Messinger, Josef; Thole,  
Heinrich-Hubert  
PATENT ASSIGNEE(S): Universitaet des Saarlandes, Germany  
SOURCE: PCT Int. Appl., 125pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2008116920 | A2   | 20081002 | WO 2008-EP53672 | 20080327 |
| WO 2008116920 | A3   | 20090402 |                 |          |

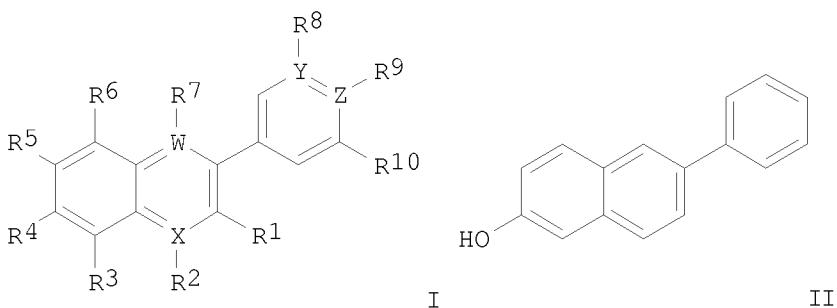
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FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,

ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,  
 PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,  
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,  
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 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,  
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

DE 102007015169 A1 20081002 DE 2007-10200701516920070327

PRIORITY APPLN. INFO.: DE 2007-10200701516920070327

GI



AB Title compds. I [W, X, Y, Z = C=, N=; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R3 = H, halo, OH, etc.; R4 = H, OH; R5 = H, halo, OH, etc.; R6 = H, halo, OH, etc.; R7 = H, halo, OH, etc.; R8 = H, halo, OH, etc. R9 = H, OH, CN, etc.; R10 = H, OH, CN, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, Suzuki coupling of 6-bromo-2-naphthol and phenylboronic acid afforded claimed phenylnaphthalenol II in 87% yield. In (17) $\beta$ -hydroxysteroid dehydrogenase 1 inhibition assays, 17-examples of compds. I exhibited IC50 values ranging from 7-840 nM.

MSTR 1

G4—G19

G4 = 45

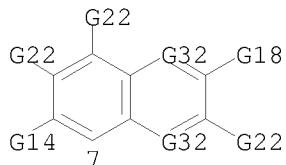
<sub>45</sub><sup>G12—G10</sup>

G5 = bond

G10 = heteroaryl <containing up to 12 atoms,  
 1 or more heteroatoms, zero or more N, zero or more O,  
 zero or more S (no other heteroatoms)> (opt. substd.) / Ph

G12 = SO2

G19 = 7



G22 = 108 / 115

$\frac{G_5}{108} - O - C(O) - G_{10}$        $\frac{G_{12}}{115} - G_{10}$

G32 = 268 / N

$\frac{C}{268} - G_{22}$

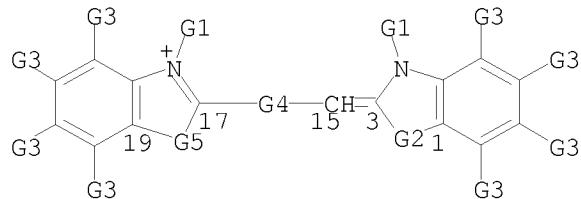
Patent location: claim 1  
 Note: and pharmacologically acceptable salts  
 Note: substitution is restricted  
 Note: also incorporates claim 11

L5 ANSWER 2 OF 14 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 148:419118 MARPAT  
 TITLE: Measurement of rate of light-induced change of dye  
       optical property in presence of probe-target hybrids  
       for detecting polynucleotides  
 INVENTOR(S): Bupp, Charles Robert; Choi, K. Yeon; Koshinsky,  
                  Heather; Nulf, Christopher; Urdea, Mickey; Wang,  
                  Miaomiao; Zwick, Michael  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 66pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| US 20080096193   | A1   | 20080424 | US 2006-362359  | 20061024 |
| PRIORITY APPLN. INFO.:   |      |          |                 |          |
| AB Methods of determining the presence or amount of a target polynucleotide in a sample are provided. A sample that contains a target polynucleotide, a nucleic acid analog that is complementary to a target nucleic acid sequence of the target polynucleotide, and a cyanine dye for which the rate of change in an optical property is different in the presence and absence of a target polynucleotide/nucleic acid analog hybrid are combined to produce a reaction mixture. The rate of change in an optical property of the dye in the reaction mixture is compared to a reference value characteristic of the rate of change in the optical property of the dye in a similar reaction mixture containing a known amount of a polynucleotide/nucleic acid analog |      |          |                 |          |

hybrid to determine a relative rate of change in the optical property. The relative rate of change in the optical property of dye in the reaction mixture is correlated with the presence or amount of the specified target polynucleotide in the sample.

MSTR 1



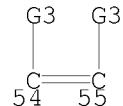
G1 = 31 / 33 / 38

$^{31}_{58}$ G<sub>10</sub>—G<sub>6</sub>       $^{33}_{60}$ G<sub>7</sub>—G<sub>10</sub>—G<sub>19</sub>       $^{38}_{65}$ G<sub>9</sub>—G<sub>22</sub>

G3 = 58 / 60 / 65

$^{58}_{58}$ G<sub>10</sub>—G<sub>17</sub>       $^{60}_{60}$ G<sub>18</sub>—G<sub>10</sub>—G<sub>20</sub>       $^{65}_{65}$ G<sub>9</sub>—G<sub>22</sub>

G4 = bond  
G5 = 54-17 55-19



G9 = SO<sub>2</sub>  
G10 = O  
G11 = heteroaryl <containing 1 or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), mono- or bicyclic>  
G17 = carbon chain <containing 1-9 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd. by 1 or more G11)  
G22 = Ph (opt. substd.)  
Patent location: claim 1  
Note: or salts or esters  
Note: additional interruption also claimed  
Note: substitution is restricted

L5 ANSWER 3 OF 14 MARPAT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 147:358263 MARPAT  
TITLE: Carbocyanine dye dimers linked by a conjugated alkenyl chain for use in detection of nucleic acid

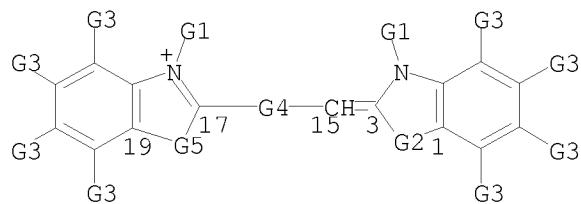
hybridization  
 INVENTOR(S): Bupp, Charles R., II; Choi, K. Yeon; Holmes-Davis, Rachel Anne; Izmailov, Alexander; Koshinsky, Heather; Nulf, Christopher J.; Urdea, Micky; Wang, Miaomiao; Warner, Brian David; Zwick, Michael  
 PATENT ASSIGNEE(S): Investigen, Inc., USA  
 SOURCE: PCT Int. Appl., 229 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2007100711   | A2   | 20070907 | WO 2007-US4814  | 20070223 |
| WO 2007100711   | A3   | 20090409 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |      |          |                 |          |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  |      |          |                 |          |
| US 20070231821  | A1   | 20071004 | US 2007-710667  | 20070223 |
| EP 2010677  | A2   | 20090107 | EP 2007-751566  | 20070223 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR   |      |          |                 |          |
| PRIORITY APPLN. INFO.: US 2006-776595P 20060224   |      |          |                 |          |
| WO 2007-US4814 20070223   |      |          |                 |          |

AB Dimeric carbocyanine dyes linked by a conjugated alkenyl moiety that change optical properties upon binding nucleic acids are described for use in quant. hybridization assays. The dyes can be used as reporters in assays using nucleic acid probes, or with analogs such as peptide nucleic acids or locked nucleic acids as probes. The rate of change in an optical property of the dye in the hybridization is compared to a reference value characteristic of the rate of change in the optical property of the dye in a similar reaction mixture containing a known quantity of a to determine a relative

rate of change in the optical property. The relative rate of change in the optical property of dye in the reaction mixture is correlated with the presence or amount of the specified target polynucleotide in the sample. The dyes are hydrophobic and a detergent is necessary for their solubilization. Optimization of assay conditions and the determination of sensitivities of assays using different conditions, probe types, light sources and analyte sequence concns. are reported.

MSTR 1



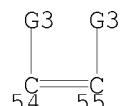
G1 = 31 / 33 / 38

$^{31}\text{G}^{10}\text{---G}^{16}$        $^{33}\text{G}^{17}\text{---G}^{10}\text{---G}^{19}$        $^{38}\text{G}^{19}\text{---G}^{22}$

G3 = 58 / 60 / 65

$^{58}\text{G}^{10}\text{---G}^{17}$        $^{60}\text{G}^{18}\text{---G}^{10}\text{---G}^{20}$        $^{65}\text{G}^{9}\text{---G}^{22}$

G4 = bond  
G5 = 54-17 55-19



G9 = SO2  
G10 = O  
G11 = heteroaryl <containing 1 or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), mono- or bicyclic>  
G17 = carbon chain <containing 1-9 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd. by 1 or more G11)  
G22 = Ph (opt. substd.)

Patent location: claim 1

Note: or salts or esters

Note: additional interruption also claimed

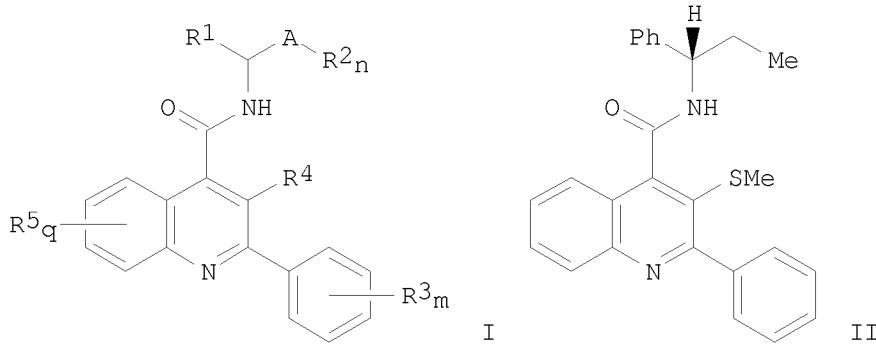
Note: substitution is restricted

L5 ANSWER 4 OF 14 MARPAT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 146:358716 MARPAT  
TITLE: Preparation of alkyl sulfoxide quinolines as Nk-3 receptor ligands  
INVENTOR(S): Albert, Jeffrey S.; Koether, Gerard M.; Alhambra, Cristobal; Kang, James; Simpson, Thomas R.; Woods, James; Li, Yan  
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.  
SOURCE: PCT Int. Appl., 68pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE            | APPLICATION NO.  | DATE     |
|---|------|-----------------|------------------|----------|
| WO 2007035158   | A1   | 20070329        | WO 2006-SE1068   | 20060919 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |      |                 |                  |          |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |                 |                  |          |
| AU 2006292849   | A1   | 20070329        | AU 2006-292849   | 20060919 |
| CA 2621062  | A1   | 20070329        | CA 2006-2621062  | 20060919 |
| EP 1928835  | A1   | 20080611        | EP 2006-784189   | 20060919 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS   |      |                 |                  |          |
| JP 2009508946   | T    | 20090305        | JP 2008-532190   | 20060919 |
| MX 2008003765   | A    | 20080402        | MX 2008-3765     | 20080318 |
| KR 2008046669   | A    | 20080527        | KR 2008-706742   | 20080320 |
| IN 2008DN02393  | A    | 20080725        | IN 2008-DN2393   | 20080320 |
| NO 2008001862   | A    | 20080616        | NO 2008-1862     | 20080417 |
| US 20080214605  | A1   | 20080904        | US 2008-67572    | 20080421 |
| CN 101312950  | A    | 20081126        | CN 2006-80043132 | 20080519 |
| PRIORITY APPLN. INFO.:  |      |                 |                  |          |
|   |      | US 2005-719275P | 20050921         |          |
|   |      | US 2005-719286P | 20050921         |          |
|   |      | WO 2006-SE1068  | 20060919         |          |

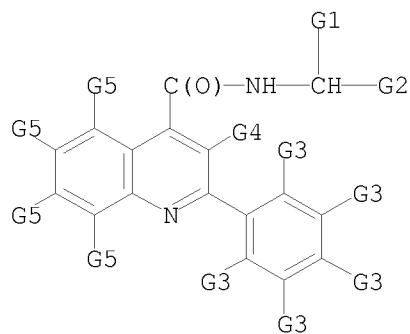
GI



AB Title compds. represented by the formula I [wherein R1 = H, (cyclo)alkyl or alkyl-OCO-; A = Ph or cycloalkyl; R2, R3 = independently H, OH, NH2, etc.; n = 1-3; R4 = E-SOr-(CH2)p-; E = (cyclo)alkyl or (hetero)aryl; p = 0-6; r = 0-2; R5 = independently H, halo, amino, etc.; q = 1-3; and

stereoisomer, enantiomer, in vivo-hydrolyzable precursor or pharmaceutically acceptable salts thereof] were prepared as NK-3 receptor ligands. For example, amidation of 3-(methylthio)-2-phenylquinoline-4-carboxylic acid with ((S)-(-)-1-phenylpropyl)amine gave II in 70% yield. The biol. test for NK-3 receptor binding activity was described (no data). I and their pharmaceutical compns. are useful for the treatment or prophylaxis of a disease or condition in which modulation of the NK-3 receptor is beneficial, such as mental and behavioral disorders (no data).

MSTR 1



G4 = 51 / 299

$$\frac{G_{12}-G_{13}-G_{14}}{51} \quad \frac{G_{13}-G_{15}}{299}$$

G5 = 75

$$\frac{G_{18}-G_9}{75}$$

G9 = alkyl <containing 1-6 C>  
(opt. substd. by 1 or more G10)

G10 = NH2  
G12 = (0-6) CH2  
G13 = SO2  
G14 = 4-pyridyl  
G18 = O

Patent location:

claim 1

Note:

or in vivo hydrolysable precursors or  
pharmaceutically acceptable salts  
or stereoisomers or enantiomers

Stereochemistry:

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 145:377221 MARPAT

TITLE: Preparation of dihydro pyridines, quinolines, and

INVENTOR(S): isoquinolines as anti-Alzheimer agents.  
 Marsais, Francis; Bohn, Pierre; Levacher, Vincent; Le Fur, Nicolas

PATENT ASSIGNEE(S): Insa Rouen, Fr.; Gous Inc.

SOURCE: PCT Int. Appl., 136pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

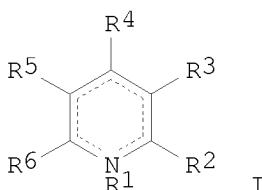
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2006103120   | A2   | 20061005 | WO 2006-EP3787  | 20060329 |
| WO 2006103120   | A3   | 20070215 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |
| EP 1731507  | A1   | 20061213 | EP 2005-290914  | 20050426 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU   |      |          |                 |          |
| AU 2006228683   | A1   | 20061005 | AU 2006-228683  | 20060329 |
| CA 2603345  | A1   | 20061005 | CA 2006-2603345 | 20060329 |
| EP 1868998  | A2   | 20071226 | EP 2006-742673  | 20060329 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR   |      |          |                 |          |
| IN 2007DN07518  | A    | 20071109 | IN 2007-DN7518  | 20070928 |
| US 20090062279  | A1   | 20090305 | US 2008-909911  | 20080716 |
| PRIORITY APPLN. INFO.:  |      |          | EP 2005-290719  | 20050401 |
|   |      |          | EP 2005-290914  | 20050426 |
|   |      |          | WO 2006-EP3787  | 20060329 |

OTHER SOURCE(S): CASREACT 145:377221

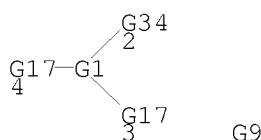
GI



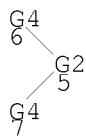
AB Title compds. [I; dotted lines = double bond between CR5-CR6, and another double bond between either CR2CR3 or CR3CR4; R1-R6 = H, OH, alkyl, aryl,

heteroaryl, aralkyl, alkylaryl, alkoxy, hydroxyalkyl, alkoxyalkyl, Ph,  $(\text{CH}_2)_n\text{CO}_2\text{H}$ , Z, Z1; R4R5, R5R6 = atoms to form (substituted) 6-membered aryl, 5-6 membered heterocyclyl;  $\geq 1$  of R2, R3, R5 =  $\text{CO}_2\text{R}$ ,  $\text{COSR}$ ,  $\text{CONRR}'$ , cyano, COR, CF3, SOR,  $\text{SO}_2\text{R}$ , SONRR',  $\text{SO}_2\text{NRR}'$ , NO2, halo, heteroaryl; R, R' = H, alkyl, cycloalkyl, aminoalkyl, aryl, heteroaryl, etc.; NR'R' = (substituted) heterocyclyl; Z = LmZ1; L = alkyl, aryl, heteroaryl, Ph, alkylaryl, aralkyl; Z1 = XC(:Y)NR7R8; X, Y = O, S; R7, R8 = H, alkyl, aryl, heteroaryl, aralkyl, Ph, cyclopropyl,  $(\text{CH}_2)_n\text{CO}_2\text{H}$ ; n = 1-6; with a proviso], were prepared. Thus, Et 1-methyl-7-N,N-dimethylcarbamoyloxy-1,4-dihydroquinoline-3-carboxylate (5-step preparation from 3-cyano-7-methoxyquinoline given) inhibited human acetylcholinesterase with  $\text{IC}_{50} = 0.5 \mu\text{M}$ .

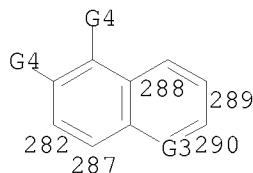
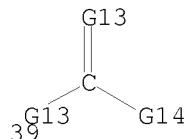
MSTR 1

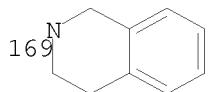


G1 = 5



G2 = 289-2 290-3 288-4 282-6 287-7

G3 = N  
G4 = 39G11 = SO2  
G12 = 169



G13 = O  
 G14 = NH2  
 G17 = 166

G11—G12  
 166

G34 = 339

G11—G12  
 339

Patent location: claim 1  
 Note: G9 is optionally present  
 Note: substitution is restricted  
 Note: or pharmaceutically acceptable salts  
 Note: also incorporates claim 2, structure G+ and claim  
 40, structures E1, E2, and E3  
 Stereochemistry: or stereoisomers

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 144:88181 MARPAT

TITLE: Heteroaryl sulfones and sulfonamides and the preparation, pharmaceutical compositions, and therapeutic uses thereof, particularly for treatment of proliferative diseases such as cancer

INVENTOR(S): Reddy, Premkumar E.; Reddy, Ramana M. V.

PATENT ASSIGNEE(S): Temple University-of the Commonwealth System of Higher Education, USA

SOURCE: PCT Int. Appl., 136 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND  | DATE     | APPLICATION NO. | DATE     |
|---------------|---|----------|-----------------|----------|
| WO 2005123077 | A2  | 20051229 | WO 2005-US20023 | 20050608 |
| WO 2005123077 | A3  | 20060526 |                 |          |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TZ, UA, UG, US, UZ, VC, VN, YU, |          |                 |          |

|   |    |          |                 |          |
|---|----|----------|-----------------|----------|
| ZA, ZM, ZW  |    |          |                 |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, |    |          |                 |          |
| AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,     |    |          |                 |          |
| EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,     |    |          |                 |          |
| RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,     |    |          |                 |          |
| MR, NE, SN, TD, TG  |    |          |                 |          |
| AU 2005253966   | A1 | 20051229 | AU 2005-253966  | 20050608 |
| CA 2569705  | A1 | 20051229 | CA 2005-2569705 | 20050608 |
| EP 1765804  | A2 | 20070328 | EP 2005-763374  | 20050608 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  |    |          |                 |          |
| IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,     |    |          |                 |          |
| HR, LV, MK, YU  |    |          |                 |          |
| JP 2008501804   | T  | 20080124 | JP 2007-527659  | 20050608 |
| IN 2006DN07044  | A  | 20070713 | IN 2006-DN7044  | 20061123 |
| US 20070232649  | A1 | 20071004 | US 2006-628019  | 20061128 |
| MX 2006014230   | A  | 20070214 | MX 2006-14230   | 20061206 |
| KR 2007034574   | A  | 20070328 | KR 2007-700456  | 20070108 |
| PRIORITY APPLN. INFO.:  |    |          |                 |          |
| US 2004-578162P   |    |          |                 |          |
| WO 2005-US20023   |    |          |                 |          |
| 20050608  |    |          |                 |          |

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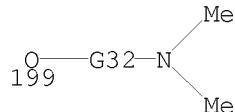
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein: R1 = halo, hydrocarbyl, acyl, various disubstituted amino or substituted hydroxy derivs., NO<sub>2</sub>, cyano, PO<sub>3</sub>H<sub>2</sub> diesters, mono- or disubstituted SO<sub>2</sub>NH<sub>2</sub>, guanidino or monohydrocarbyl derivs., haloalkyl, or heteroalkyl; R2 = (un)substituted (hetero)aryl; M = bond, NR<sub>3</sub>, CH:CH, CHR<sub>4</sub>, CH(R<sub>4</sub>)A(CH:CH)<sub>m</sub>; N(R<sub>3</sub>)A(CH:CH)<sub>m</sub>; R<sub>3</sub>, R<sub>4</sub> = H, alkyl; A = SO<sub>2</sub>, CO; Q = O, S, or NH; n = 0-4; m = 0-1; with provisos; including salts] are disclosed. I are useful as antiproliferative agents including, for example, as anticancer agents. Examples include approx. 60 prepared compds. I and 2 bioassays. Over 350 invention compds. are also named in claims. For instance, cyclocondensation of 5-bromosalicylaldehyde with [(4-methoxyanilino)sulfonyl]acetic acid in refluxing AcOH in the presence of PhCH<sub>2</sub>NH<sub>2</sub> gave invention compound II. In tests against 5 human tumor cell lines, invention compound III had 50% growth-inhibitory concns. (IG50) of 12-16  $\mu$ M.

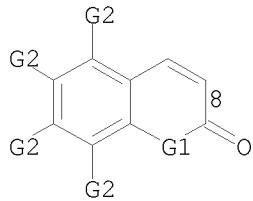
MSTR 1

G4—SO<sub>2</sub>—G24  
109

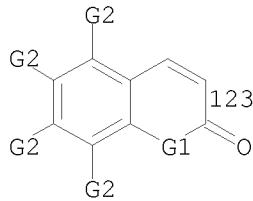
G1 = O / NH  
 G2 = 199



G4 = 8



G24 = 123



G32 = alkylene &lt;containing 2-6 C&gt;

Patent location: claim 1  
 Note: additional ring formation also claimed  
 Note: substitution is restricted  
 Note: or salts  
 Note: also incorporates claim 47 and 48

L5 ANSWER 7 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 143:386931 MARPAT

TITLE: Preparation of 3-[(hetero)arylsulfonyl]-8-[(aminoalkyl)oxy]quinolines as 5-HT<sub>6</sub> receptor antagonists for the treatment of CNS disorders

INVENTOR(S): Ahmed, Mahmood; Johnson, Christopher Norbert; Miller, Neil Derek; Trani, Giancarlo; Witty, David R.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Witty, David R

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2005095346   | A1   | 20051013 | WO 2005-GB1106  | 20050324 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,   |      |          |                 |          |

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

EP 1730112 A1 20061213 EP 2005-729157 20050324

EP 1730112 B1 20080903

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV

JP 2007530648 T 20071101 JP 2007-505618 20050324

AT 407120 T 20080915 AT 2005-729157 20050324

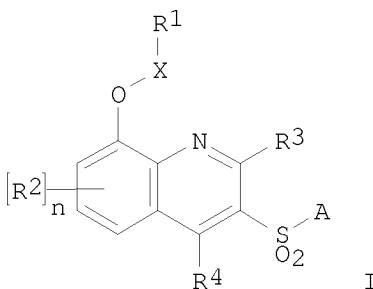
ES 2313319 T3 20090301 ES 2005-729157 20050324

US 20070191345 A1 20070816 US 2006-599002 20060918

PRIORITY APPLN. INFO.: GB 2004-7025 20040329  
 WO 2005-GB1106 20050324

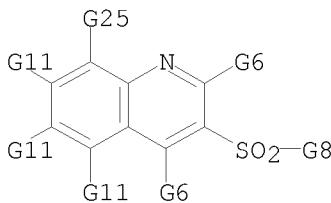
OTHER SOURCE(S): CASREACT 143:386931

GI



AB The title compds. I [R1 = (un)substituted NH<sub>2</sub> or a N containing heterocyclyl; X = a bond, (un)substituted CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, etc.; R2 = halo, CN, CF<sub>3</sub>, etc.; n = 0-3; R3, R4 = H, halo, CN, etc.; A = (un)substituted (hetero)aryl, arylaryl, etc.], useful in the treatment of CNS and other disorders, were prepared. Thus, reacting 2-dimethylaminoethanol with 3-phenylsulfonyl-8-iodoquinoline (preparation given) afforded 48% I [R1 = NMe<sub>2</sub>; X = (CH<sub>2</sub>)<sub>2</sub>; R2-R4 = H; A = Ph] which was converted to its HCl salt which showed antagonist potency for the 5-HT<sub>6</sub> receptor, having fpKi > 8.0 at human cloned 5-HT<sub>6</sub> receptors. The pharmaceutical composition comprising the compound I is disclosed.

MSTR 1



G1 = G5  
 G2 = NH<sub>2</sub>

G5 = (1-3) 14

```

  G4
  C
14  G4
  
```

G8 = Ph  
 G25 = 200

0—G1—G2  
 200

Patent location: claim 1

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 139:265380 MARPAT

TITLE: Hair dye compositions containing quinolinium salts

INVENTOR(S): Sauter, Guido; Braun, Hans-Juergen; Duc-Reichlin, Nadia

PATENT ASSIGNEE(S): Wella Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

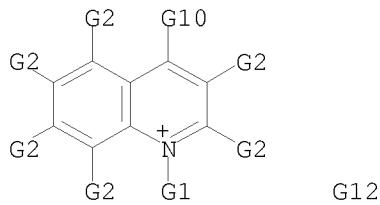
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| EP 1346719  | A1   | 20030924 | EP 2002-25423    | 20021115 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK |      |          |                  |          |
| DE 10211413   | A1   | 20030925 | DE 2002-10211413 | 20020315 |
| US 20030177592  | A1   | 20030925 | US 2003-361380   | 20030210 |
| US 6977001  | B2   | 20051220 |                  |          |
| BR 2003000496   | A    | 20040810 | BR 2003-496      | 20030313 |
| PRIORITY APPLN. INFO.:  |      |          | DE 2002-10211413 | 20020315 |

AB The invention concerns hair dyes that are prepared from two components; component A1 contains a quinolinium derivative; component A2 includes a nucleophile compound. Other direct dyes can be added; solns., emulsions, creams, foams, gels can be formulated. Thus component A1 contained (g): 4-chloro-1-ethylquinolinium tetrafluoroborate 0.70; decyl glycoside 4.0; EDTA disodium salt 0.2; ethanol 5.0; water to 100. Component A2 included: 1,4-diaminobenzene 0.27; decyl glycoside 4.0; EDTA disodium salt 0.2; ethanol 5.0; 25% ammonia solution 6.0; water to 100.

MSTR 1



G2 = 27 / 52



G3 = tolyl  
 G5 = heteroaryl (opt. substd.)  
 G7 = O  
 G14 = SO<sub>2</sub>

Patent location: claim 1

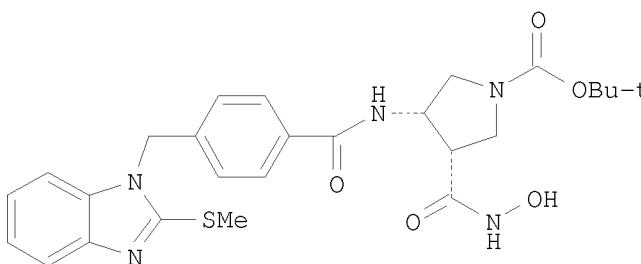
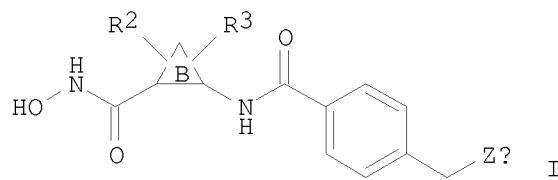
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 14 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 138:271682 MARPAT  
 TITLE: Preparation of cyclic hydroxamic acids as inhibitors of matrix metalloproteinases and/or TNF- $\alpha$  converting enzyme for treatment of inflammatory disorders  
 INVENTOR(S): Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 344 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2003024899 | A2   | 20030327 | WO 2002-US29685 | 20020916 |
| WO 2003024899 | A3   | 20031127 |                 |          |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

|  |             |                 |          |
|--|-------------|-----------------|----------|
| AU 2002341715  | A1 20030401 | AU 2002-341715  | 20020916 |
| US 20030139388   | A1 20030724 | US 2002-244626  | 20020916 |
| US 6740649   | B2 20040525 |                 |          |
| EP 1427408   | A2 20040616 | EP 2002-775865  | 20020916 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK |             |                 |          |
| PRIORITY APPLN. INFO.:   |             | US 2001-322630P | 20010917 |
|  |             | WO 2002-US29685 | 20020916 |

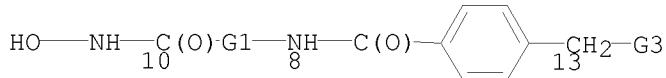
GI



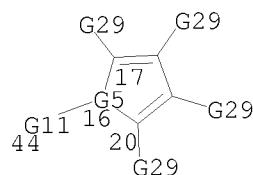
AB Title compds. I [wherein ring B = (un)substituted 4-7 membered (hetero)cyclic ring containing 0-2 O, N, NR1, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un)substituted alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO, NRaCO2, NRaCONRa, SOp, NRaSO2, or SO2NRa; or R1 = (un)substituted alkylene-Q interrupted by OCO, OCO2, or OCONRa; Q = H or (un)substituted (hetero)cyclyl; R3 = Q1, Cl, F, alk(en/yn)ylene-Q1, or (un)substituted alkylene-Q1 interrupted by O, NR1, NRaCO, CONRa, CO, CO2, SOp, or SO2NRa; Q1 = H or (un)substituted Ph, naphthyl, or heterocyclyl; Za = (un)substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as inhibitors of matrix metalloproteinases (MMP), TNF- $\alpha$  converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxylate (100%). BOC-protection (64%), debenzylation (96%), resolution of the (3S,4S)-isomer with (S)- $\alpha$ -methylbenzylamine, conversion to the carbamate with DPPA and PhCH2OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S,4S)-4-amino-1-(tert-butoxycarbonyl)-3-pyrrolidinecarboxylate. Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1-yl)methyl]benzoic acid (preparation given) afforded the amide (99%), which was treated with NH2OH•HCl/MeONa to give the hydroxamic acid (3S,4S)-II

(33%). A number of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of  $\leq 10 \mu\text{M}$ . Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

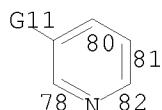
MSTR 1



G3 = 16



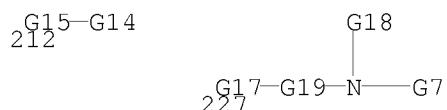
G5 = 80-13 78-44 81-17 82-20



G11 = 111

G15-G14  
111

G14 = Ph  
G15 = SO<sub>2</sub>  
G17 = O  
G19 = C(O)  
G29 = 212 / 227



Patent location:

claim 1

Note:

or pharmaceutically acceptable salts

Note:

substitution is restricted

Note:

additional ring formation also claimed

Stereochemistry:

or stereoisomers

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 14 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 138:78134 MARPAT  
 TITLE: Direct hair dyes composed of 1-benzopyrane-derivatives and an electrophilic substance  
 INVENTOR(S): Sauter, Guido; Braun, Hans-Juergen; Brouillard, Raymond; Fougerousse, Andre; Roehri-Stoeckel, Christine  
 PATENT ASSIGNEE(S): Wella Aktiengesellschaft, Germany  
 SOURCE: PCT Int. Appl., 51 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

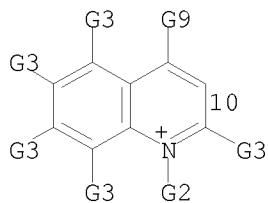
| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| WO 2003000214   | A1   | 20030103 | WO 2002-EP1194   | 20020206 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |      |          |                  |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| DE 10130144   | A1   | 20030102 | DE 2001-10130144 | 20010622 |
| AU 2002246084   | A1   | 20030108 | AU 2002-246084   | 20020206 |
| BR 2002005662   | A    | 20030715 | BR 2002-5662     | 20020206 |
| EP 1404289  | A1   | 20040407 | EP 2002-714147   | 20020206 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                  |          |
| JP 2004521144   | T    | 20040715 | JP 2003-506861   | 20020206 |
| US 20030196281  | A1   | 20031023 | US 2003-380896   | 20030320 |
| PRIORITY APPLN. INFO.:  |      |          | DE 2001-10130144 | 20010622 |
|   |      |          | WO 2002-EP1194   | 20020206 |

AB The invention concerns a two component hair dye where the components are mixed in the presence of acids or bases if required to form a direct dye that can be removed with sulfite-containing reducing agents if required. The first component includes 1-benzopyrane-derivs.; the second component contains an electrophilic substance that is selected from the group of carbonyls, imines and 1-alkyl-quinoline derivs. Thus a first components was composed of (g): 7-hydroxy-4-methyl-2-phenyl-1-benzylpyrylium chloride 3.14; cetylstearyl alc. 12.0; Brij 78 P 2.8; ethanol 24.8; water to 100. The second component was a mixture of (g): 4-hydroxy-3-methoxy-benzaldehyde 1.75; cetylstearyl alc. 12.0; Brij 78 P 2.8; ethanol 24.8; water to 100.

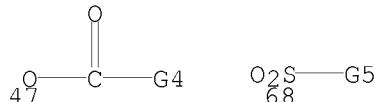
MSTR 2

G1—G3 G10

G1 = 10



G3 = 47 / 68



G4 = heteroaryl (opt. substd.)

G5 = tolyl

Patent location: claim 1

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 134:17502 MARPAT

TITLE: Preparation of phenoxypropylamine compounds as antagonists of 5-HT1A receptor

INVENTOR(S): Nishiyama, Akira; Bougauchi, Masahiro; Kuroita, Takanobu; Minoguchi, Masanori; Morio, Yasunori; Kanzaki, Kouji

PATENT ASSIGNEE(S): Welfide Corp., Japan

SOURCE: PCT Int. Appl., 335 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

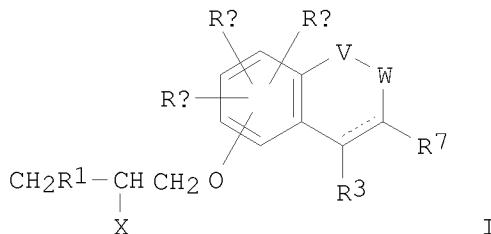
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2000071517   | A1   | 20001130 | WO 2000-JP3279  | 20000522 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2375008  | A1   | 20001130 | CA 2000-2375008 | 20000522 |
| BR 2000011542   | A    | 20020305 | BR 2000-11542   | 20000522 |
| EP 1188747  | A1   | 20020320 | EP 2000-927844  | 20000522 |
| EP 1188747  | B1   | 20050907 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |          |
| HU 2002001540   | A2   | 20020828 | HU 2002-1540    | 20000522 |

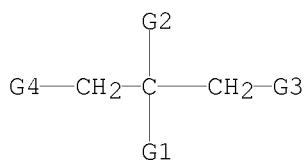
|                        |    |          |                |          |
|------------------------|----|----------|----------------|----------|
| HU 2002001540          | A3 | 20021228 |                |          |
| NZ 516111              | A  | 20030530 | NZ 2000-516111 | 20000522 |
| CN 1164574             | C  | 20040901 | CN 2000-808604 | 20000522 |
| AU 777594              | B2 | 20041021 | AU 2000-46160  | 20000522 |
| AT 303987              | T  | 20050915 | AT 2000-927844 | 20000522 |
| ES 2244438             | T3 | 20051216 | ES 2000-927844 | 20000522 |
| IL 146564              | A  | 20061231 | IL 2000-146564 | 20000522 |
| JP 3893878             | B2 | 20070314 | JP 2000-619774 | 20000522 |
| US 20020111358         | A1 | 20020815 | US 2001-990389 | 20011123 |
| US 6720320             | B2 | 20040413 |                |          |
| MX 2001012046          | A  | 20030904 | MX 2001-12046  | 20011123 |
| KR 799134              | B1 | 20080129 | KR 2001-715024 | 20011123 |
| ZA 2001010137          | A  | 20030225 | ZA 2001-10137  | 20011210 |
| US 20040138227         | A1 | 20040715 | US 2003-740418 | 20031222 |
| US 7196199             | B2 | 20070327 |                |          |
| KR 2007118193          | A  | 20071213 | KR 2007-726625 | 20071115 |
| KR 882544              | B1 | 20090212 |                |          |
| PRIORITY APPLN. INFO.: |    |          |                |          |
|                        |    |          | JP 1999-142750 | 19990524 |
|                        |    |          | JP 1999-166160 | 19990614 |
|                        |    |          | JP 1999-277384 | 19990929 |
|                        |    |          | JP 2000-18080  | 20000125 |
|                        |    |          | WO 2000-JP3279 | 20000522 |
|                        |    |          | KR 2001-715024 | 20011123 |
|                        |    |          | US 2001-990389 | 20011123 |

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AB Phenoxypropylamine compds. represented by general formula [I; a bond represented by a solid and a dotted line is a double or single bond; X = H, HO, C1-8 alkoxy, acyloxy, oxo; R1 = 4-substituted piperidino, piperazino, 1-piperidinylamino, or 1,2,3,6-tetrahydropyrazinyl, (un)substituted aryloxy- or arylthioamino, (un)substituted heterocyclyoxy- or heterocyclylthioamino, etc.; R3 = H, C1-18 alkyl, halo; Ra, Rb, Rc = H, C1-18 alkyl, OH, C1-8 alkoxy, halo, acyl, NO<sub>2</sub>, NH<sub>2</sub>], optically active isomers thereof or pharmaceutically acceptable salts thereof and hydrates of the same are prepared. These compds. have an affinity selectively for 5-HT<sub>1A</sub> receptor, simultaneously show an antagonistic activity, and inhibit the reuptake of 5-HT, thereby being usable as antidepressant agents quickly achieving an antidepressant effect (no data). Thus, 4-(3,4-dichlorophenyl)piperazine was added to a solution of (S)-5-(4-glycidyloxybenzo[b]furan-2-yl)-3-methylisoxazole in MeOH and refluxed for 8 h to give (S)-1-(4-(3,4-dichlorophenyl)piperazin-1-yl)-3-(2-(3-methylisoxazol-5-yl)benzo[b]furan-4-yloxy)-2-propanol.

MSTR 1A



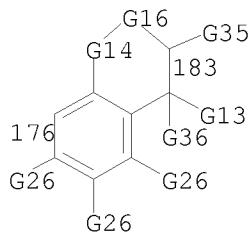
G3 = 26



G4 = 3

G18-G12-O<sub>3</sub>

G12 = 176-3 183-1



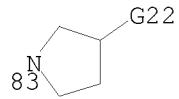
G14 = 73

N<sub>73</sub>—G15

G16 = C(O)  
G18 = 75

G19-G20

G19 = SO<sub>2</sub>  
G20 = 83



Patent location:

claim 1

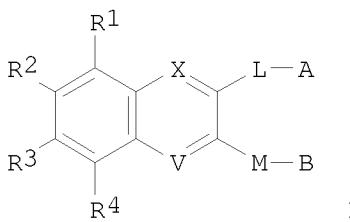
Note: and pharmacologically acceptable salts or hydrates

REFERENCE COUNT: 151 THERE ARE 151 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 14 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 133:89542 MARPAT  
 TITLE: Preparation of quinoxalines as non-peptide GLP-1 agonists  
 INVENTOR(S): Teng, Min; Truesdale, Larry Kenneth; Bhumralkar, Dilip; Kiel, Dan; Johnson, Michael D.; Thomas, Christine; Jorgensen, Anker Steen; Madsen, Peter; Olesen, Preben Houlberg; Knudsen, Liselotte Bjerre; Petterson, Ingrid Vivika; Cornelis De Jong, Johannes; Behrens, Carsten; Kodra, Janos Tibor; Lau, Jesper  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.  
 SOURCE: PCT Int. Appl., 194 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

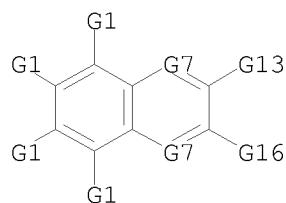
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2000042026   | A1   | 20000720 | WO 2000-DK14    | 20000114 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| EP 1147094  | A1   | 20011024 | EP 2000-900499  | 20000114 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |          |
| JP 2002534512   | T    | 20021015 | JP 2000-593594  | 20000114 |
| US 6927214  | B1   | 20050809 | US 2000-483504  | 20000114 |
| PRIORITY APPLN. INFO.:  |      |          | DK 1999-41      | 19990115 |
|   |      |          | US 1999-116116P | 19990115 |
|   |      |          | WO 2000-DK14    | 20000114 |

GI



AB The title compds. I [R1, R2, R3, R4 independently = H, halogen, CN, CF3, NO<sub>2</sub>, OR<sub>5</sub>, lower alkyl, SR<sub>5</sub>, S(O<sub>2</sub>)NR<sub>5</sub>R<sub>6</sub>, etc (a proviso is given); A, B = H, halogen, OH, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, CN, NO<sub>2</sub>, alkyl, alkenyl, etc; L, M = (CH<sub>2</sub>)<sub>m</sub>S(CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>m</sub>O(CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>m</sub>S(O)(CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>m</sub>S(O)<sub>2</sub>(CH<sub>2</sub>)<sub>n</sub>, etc; X, V = :N or :CD; D = H, halogen, CN, CF<sub>3</sub>, NO<sub>2</sub>, etc; m, n independently = 0, 1, 2, 3, or 4] useful as non-peptide GLP-1 agonists for the treatment and/or prevention of disorders and diseases wherein an activation of the human GLP-1 receptor is beneficial, especially metabolic disorders such as Type 1 diabetes, Type 2 diabetes and obesity (no data), are prepared. Formulations are given.

MSTR 1



G1 = 15 / 17 / 29 / 34

$$15^{G3-G2} \quad 17^{G4-G5} \quad 29^{G11-G2} \quad 34^{G6-G2}$$

G2 = alkyl <containing 1-6 C>  
 (substd. by heterocycle <containing 3-10 atoms,  
 1 or more heteroatoms, zero or more N, zero or more O,  
 zero or more S (no other heteroatoms), non-aromatic,  
 0 or more double-exact bonds> (opt. substd.))

G3 = O  
 G5 = 19

$$19^{G6-G2}$$

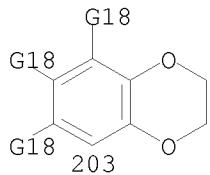
G7 = 1 or more N / 23

$$23^C-G8$$

G13 = 42

$$42^{G14-G15}$$

G14 = SO<sub>2</sub>  
 G15 = 203



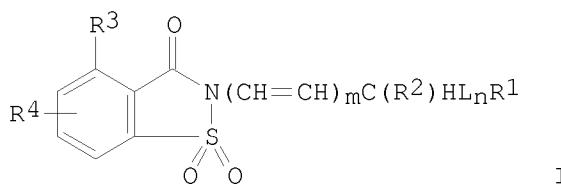
Patent location: claim 1

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 14 MARPAT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 120:191707 MARPAT  
TITLE: 2-Substituted saccharin derivative proteolytic enzyme  
inhibitors  
INVENTOR(S): Hlasta, Dennis John; Desai, Ranjit Chimanlal;  
Subramanyam, Chakrapani; Lodge, Eric Piatt; Dunlap,  
Richard Paul; Boaz, Neil Warren; Mura, Albert Joseph;  
Latimer, Lee Hamilton  
PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA  
SOURCE: Eur. Pat. Appl., 77 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 7  
PATENT INFORMATION:

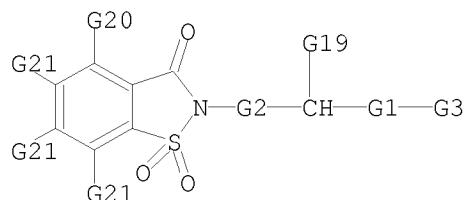
| PATENT NO.                     | KIND | DATE     | APPLICATION NO.                        | DATE     |
|--------------------------------|------|----------|--|----------|
| EP 542372                      | A1   | 19930519 | EP 1992-203469                         | 19921112 |
| R: AT, BE, CH, DE, DK, ES, FR, |      |          | GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |          |
| US 5236917                     | A    | 19930817 | US 1991-793033                         | 19911115 |
| AU 9225340                     | A    | 19930520 | AU 1992-25340                          | 19920925 |
| AU 654581                      | B2   | 19941110 |  |          |
| CA 2079822                     | A1   | 19930516 | CA 1992-2079822                        | 19921005 |
| NO 9204401                     | A    | 19930518 | NO 1992-4401                           | 19921113 |
| NO 303119                      | B1   | 19980602 |  |          |
| HU 66873                       | A2   | 19950130 | HU 1992-3566                           | 19921113 |
| IL 103748                      | A    | 19970218 | IL 1992-103748                         | 19921113 |
| RU 2101281                     | C1   | 19980110 | RU 1992-4381                           | 19921113 |
| JP 05194444                    | A    | 19930803 | JP 1992-305295                         | 19921116 |
| US 5371074                     | A    | 19941206 | US 1993-67637                          | 19930524 |
| US 5650422                     | A    | 19970722 | US 1994-270964                         | 19940705 |
| US 5596012                     | A    | 19970121 | US 1995-449152                         | 19950524 |
| US 5874432                     | A    | 19990223 | US 1997-803297                         | 19970220 |
| PRIORITY APPLN. INFO.:         |      |          | US 1991-793033                         | 19911115 |
|                                |      |          | US 1989-347125                         | 19890504 |
|                                |      |          | US 1989-347126                         | 19890504 |
|                                |      |          | US 1990-514920                         | 19900426 |
|                                |      |          | US 1993-67637                          | 19930524 |
|                                |      |          | US 1994-270964                         | 19940705 |

GI

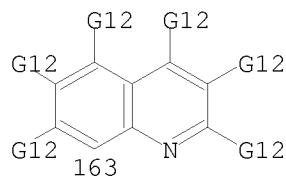


AB The title compds. I [L = O, S, SO, SO<sub>2</sub>; R1 = (un)substituted Ph, (un)substituted heterocyclyl, etc.; R2 = H, lower alkoxy carbonyl, Ph, PhS; R3 = H, halogen, (un)substituted alkyl, Ph, lower alkoxy, lower alkoxy carbonyl, CN, etc.; R4 = H or 1-3 substituents selected from halogen, CN, NO<sub>2</sub>, NH<sub>2</sub>, etc.; m, n = 0, 1; when m = 0 then R1 can only be heterocyclyl and CHR<sub>2</sub> can only be bonded to a ring N of R1; when m = 0, n = 1 and L is O, S, or SO, then R<sub>2</sub>-R<sub>4</sub> = H; when m = 0, n = 1, L is S, R<sub>2</sub>, R<sub>4</sub> = H and R<sub>3</sub> = halogen; when m = 0, n = 1, and L is SO or SO<sub>2</sub> then R<sub>2</sub> is lower alkoxy carbonyl and R<sub>3</sub> = R<sub>4</sub> = H while R1 ≠ substituted Ph], useful for the treatment of degenerative diseases (no data), are prepared. Thus, 2-hydroxymethyl-4-chlorosaccharin was reacted with thionyl chloride, producing 2-chloromethyl-4-chlorosaccharin (II). II demonstrated inhibition constant for human leukocyte elastase (rate of reactivation of enzyme to rate of inactivation of enzyme) of 0.5 nM and 26 nM for  $\alpha$ -chymotrypsin.

MSTR 1A



G1 = O  
 G2 = bond  
 G3 = 163



G12 = 81

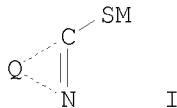
$\text{O}_2\text{S}_{81}$  — G13 — G14

G13 = phenylene  
 Patent location: claim 1

Note: substitution is restricted

L5 ANSWER 14 OF 14 MARPAT COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 119:213908 MARPAT  
 TITLE: Silver halide photographic material  
 INVENTOR(S): Fukuwa, Junichi; Kobayashi, Akira; Goto, Kenji  
 PATENT ASSIGNEE(S): Konica Co., Japan  
 SOURCE: Can. Pat. Appl., 71 pp.  
 CODEN: CPXXEB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| CA 2065106             | A1   | 19921005 | CA 1992-2065106 | 19920403 |
| JP 05197057            | A    | 19930806 | JP 1992-110787  | 19920403 |
| PRIORITY APPLN. INFO.: |      |          | JP 1991-99626   | 19910404 |
| GI                     |      |          |                 |          |

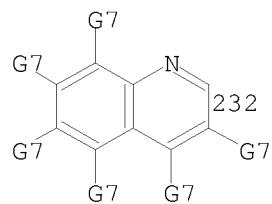


AB A Ag halide photog. material for high-contrast dot image formation is disclosed. The material comprises a support and provided thereon a Ag halide emulsion layer and layers adjacent to the emulsion layer. The emulsion is subjected to desalinization comprising using denatured gelatin in the process of preparation thereof. At least one of the layers contains a hydrazine derivative and a compound selected from the group consisting of those represented by formulas A(CH<sub>2</sub>)<sub>n</sub>SC(:N+HR<sub>1</sub>)NHR<sub>1</sub> X<sup>-</sup> (A = OH, SO<sub>3</sub><sup>-</sup>, or N(R<sub>2</sub>)<sub>2</sub>; R<sub>1</sub> = H, (substituted) alkyl having 1-5 C atoms, or (substituted) Ph; R<sub>2</sub> = (substituted) alkyl having 1-5 C atoms; X<sup>-</sup> = an anion), (R<sub>3</sub>)<sub>2</sub>N(CH<sub>2</sub>)<sub>n</sub>SC(S)N(R<sub>4</sub>)<sub>2</sub> (R<sub>3</sub> = H, (substituted) alkyl having 1-5 C atoms, or (substituted) aryl; R<sub>4</sub> = (substituted) alkyl having 1-5 C atoms or (substituted) Ph; n = an integer of 2-5), or I (Q = a group of atoms necessary to form a 5- or 6-membered heterocyclic ring which may be condensed with a benzene or heterocyclic ring; M = H, an alkali metal atom, an ammonium group, or an amine residue).

MSTR 3B

G1—G2

G1 = 232



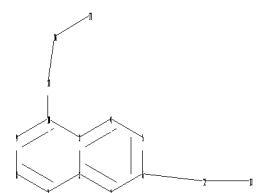
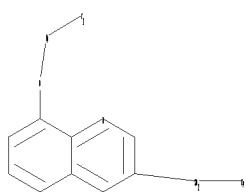
G7 = SO2Ph / 43

$_{43}^{\text{O}}\text{---C(O)---NH---G17}$

Patent location: claim 1

=> file reg

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chain nodes :  
11 12 13 16 17  
ring nodes :  
1 2 3 4 5 6 7 8 9 10  
chain bonds :  
6-12 10-11 11-16 12-13 16-17  
ring bonds :  
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10  
exact/norm bonds :  
10-11 11-16 12-13 16-17  
exact bonds :  
6-12  
normalized bonds :  
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10  
isolated ring systems :  
containing 1 :

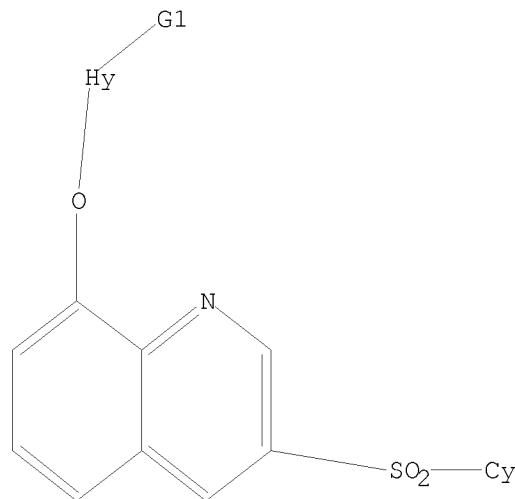
10/599,002

G1:N,Hy

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:CLASS 12:CLASS 13:Atom 16:Atom 17:CLASS

L6 STRUCTURE UPLOADED

=> d 16  
L6 HAS NO ANSWERS  
L6 STR



G1 N,Hy

Structure attributes must be viewed using STN Express query preparation.

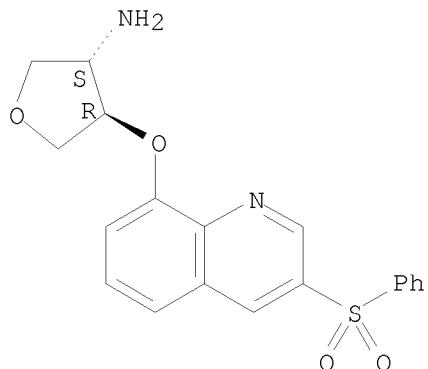
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SAMPLE SCREEN SEARCH COMPLETED - 289 TO ITERATE  
  
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SEARCH TIME: 00.00.01  
  
FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 4761 TO 6799  
PROJECTED ANSWERS: 1 TO 80

L7 1 SEA SSS SAM L6

=> d scan

L7 1 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 3-Furanamine, tetrahydro-4-[[3-(phenylsulfonyl)-8-quinolinyl]oxy]-,  
hydrochloride (1:1), (3S,4R)-  
MF C19 H18 N2 O4 S . Cl H

Absolute stereochemistry.



● HCl

ALL ANSWERS HAVE BEEN SCANNED

=> s 16 full  
FULL SEARCH INITIATED 11:24:49 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 5502 TO ITERATE

100.0% PROCESSED 5502 ITERATIONS 4 ANSWERS  
SEARCH TIME: 00.00.02

L8 4 SEA SSS FUL L6

=> file ca

=> s 18  
L9 1 L8

=> d ibib

L9 ANSWER 1 OF 1 CA COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 143:386931 CA  
TITLE: Preparation of  
3-[(hetero)arylsulfonyl]-8-[(aminoalkyl)oxy]quinolines  
as 5-HT6 receptor antagonists for the treatment of CNS  
disorders  
INVENTOR(S): Ahmed, Mahmood; Johnson, Christopher Norbert; Miller,  
Neil Derek; Trani, Giancarlo; Witty, David R.  
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Witty, David R  
SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

| PATENT NO.  | KIND                                   | DATE   | APPLICATION NO. | DATE       |
|---|--|--|-----------------|------------|
| WO 2005095346   | A1                                     | 20051013   | WO 2005-GB1106  | 20050324   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |  |  |                 |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |  |  |                 |            |
| EP 1730112  | A1                                     | 20061213   | EP 2005-729157  | 20050324   |
| EP 1730112  | B1                                     | 20080903   |                 |            |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV   |  |  |                 |            |
| JP 2007530648   | T                                      | 20071101   | JP 2007-505618  | 20050324   |
| AT 407120   | T                                      | 20080915   | AT 2005-729157  | 20050324   |
| ES 2313319  | T3                                     | 20090301   | ES 2005-729157  | 20050324   |
| US 20070191345  | A1                                     | 20070816   | US 2006-599002  | 20060918   |
| PRIORITY APPLN. INFO.:  |  |  | GB 2004-7025    | A 20040329 |
|   |  |  | WO 2005-GB1106  | W 20050324 |
| OTHER SOURCE(S):  | CASREACT 143:386931; MARPAT 143:386931 |  |                 |            |
| OS.CITING REF COUNT:  | 3                                      | THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD<br>(3 CITINGS)                                  |                 |            |
| REFERENCE COUNT:  | 7                                      | THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |                 |            |

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FILE 'REGISTRY' ENTERED AT 11:22:04 ON 30 JUL 2009

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 L2                   2 S L1 SAM  
 L3                   30 S L1 FULL

FILE 'CA' ENTERED AT 11:22:29 ON 30 JUL 2009

L4                   1 S L3

FILE 'MARPAT' ENTERED AT 11:22:40 ON 30 JUL 2009

L5                   14 S L3 FULL

FILE 'REGISTRY' ENTERED AT 11:24:23 ON 30 JUL 2009

L6                   STRUCTURE UPLOADED  
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 L8                   4 S L6 FULL

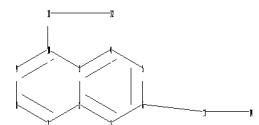
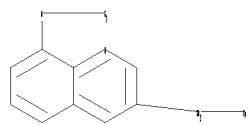
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L9 1 S L8

=> file reg

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11 12 13 14  
ring nodes :  
1 2 3 4 5 6 7 8 9 10  
chain bonds :  
6-13 10-11 11-12 13-14  
ring bonds :  
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10  
exact/norm bonds :  
10-11 11-12 13-14  
exact bonds :  
6-13  
normalized bonds :

10/599,002

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10  
isolated ring systems :  
containing 1 :

G1:N,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:CLASS 12:CLASS 13:CLASS 14:Atom

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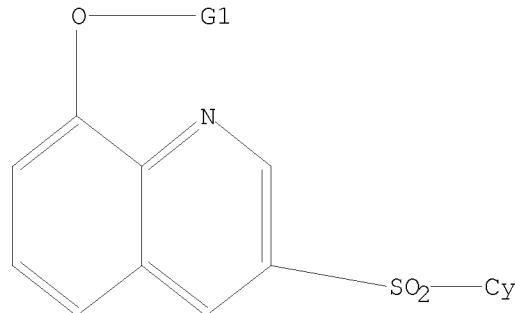
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L10 HAS NO ANSWERS

L10 STR



G1 N,Hy

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 5502 ITERATIONS  
SEARCH TIME: 00.00.01

26 ANSWERS

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=> file ca

=> s 111

L12 1 L11

=&gt; d ibib

L12 ANSWER 1 OF 1 CA COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 143:386931 CA  
 TITLE: Preparation of  
 3-[(hetero)arylsulfonyl]-8-[(aminoalkyl)oxy]quinolines  
 as 5-HT<sub>6</sub> receptor antagonists for the treatment of CNS  
 disorders  
 INVENTOR(S): Ahmed, Mahmood; Johnson, Christopher Norbert; Miller,  
 Neil Derek; Trani, Giancarlo; Witty, David R.  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Witty, David R  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND                                   | DATE  | APPLICATION NO. | DATE       |
|--|--|---|-----------------|------------|
| WO 2005095346  | A1                                     | 20051013  | WO 2005-GB1106  | 20050324   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,<br>SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |  |   |                 |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,<br>RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,<br>MR, NE, SN, TD, TG   |  |   |                 |            |
| EP 1730112   | A1                                     | 20061213  | EP 2005-729157  | 20050324   |
| EP 1730112   | B1                                     | 20080903  |                 |            |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,<br>IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV   |  |   |                 |            |
| JP 2007530648  | T                                      | 20071101  | JP 2007-505618  | 20050324   |
| AT 407120  | T                                      | 20080915  | AT 2005-729157  | 20050324   |
| ES 2313319   | T3                                     | 20090301  | ES 2005-729157  | 20050324   |
| US 20070191345   | A1                                     | 20070816  | US 2006-599002  | 20060918   |
| PRIORITY APPLN. INFO.:   |  |   | GB 2004-7025    | A 20040329 |
|  |  |   | WO 2005-GB1106  | W 20050324 |
| OTHER SOURCE(S):   | CASREACT 143:386931; MARPAT 143:386931 |   |                 |            |
| OS.CITING REF COUNT:   | 3                                      | THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD<br>(3 CITINGS)                                     |                 |            |
| REFERENCE COUNT:   | 7                                      | THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS<br>RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |                 |            |

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10/599,002

L2 2 S L1 SAM  
L3 30 S L1 FULL

FILE 'CA' ENTERED AT 11:22:29 ON 30 JUL 2009  
L4 1 S L3

FILE 'MARPAT' ENTERED AT 11:22:40 ON 30 JUL 2009  
L5 14 S L3 FULL

FILE 'REGISTRY' ENTERED AT 11:24:23 ON 30 JUL 2009  
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L7 1 S L6 SAM  
L8 4 S L6 FULL

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L11 26 S L10 FULL

FILE 'CA' ENTERED AT 11:26:06 ON 30 JUL 2009  
L12 1 S L11

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